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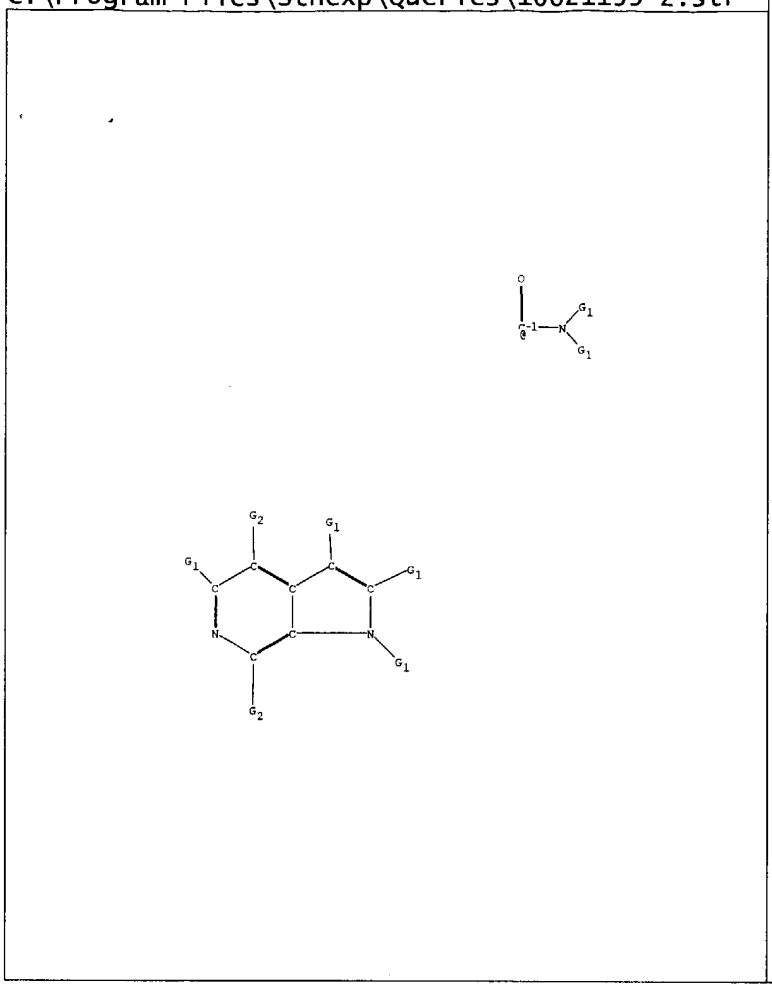
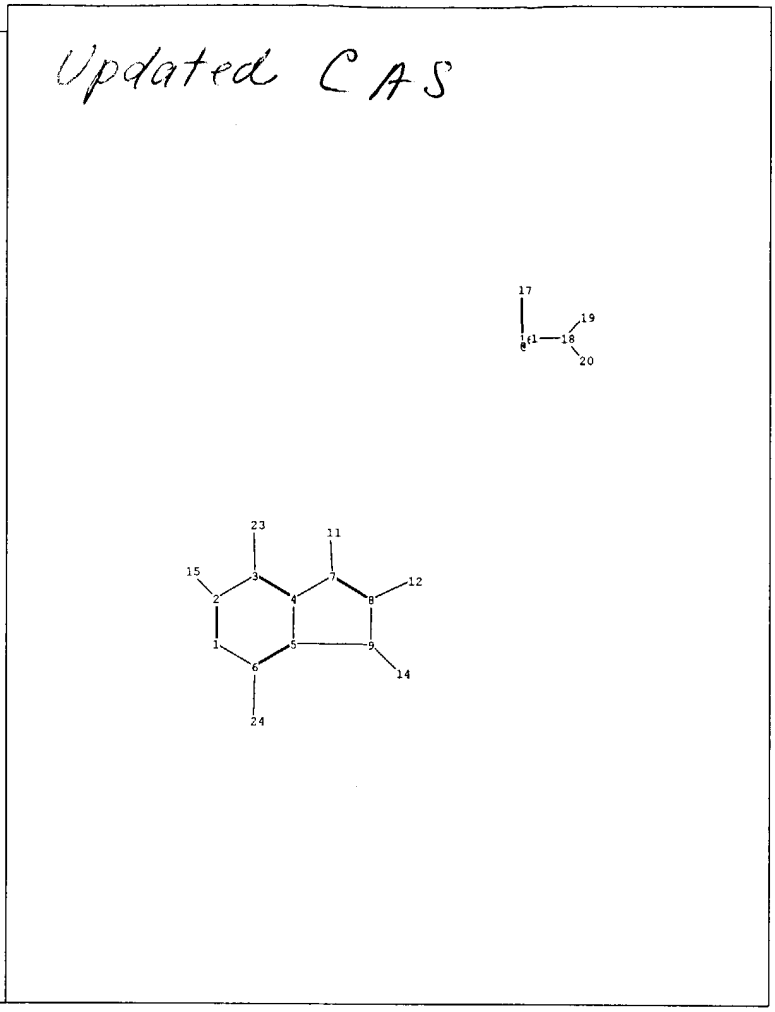


Updated CAS



chain nodes :
11 12 14 15 16 17 18 19 20 23 24
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
2-15 3-23 6-24 7-11 8-12 9-14 16-17 16-18 18-19 18-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9
exact/norm bonds :
2-15 3-23 4-7 5-9 6-24 7-8 7-11 8-9 8-12 9-14 16-17 16-18 18-19 18-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:H,CH3

G2:MeO,EtO,X,[*1]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
12:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 23:CLASS
24:CLASS

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=> s l1
SAMPLE SEARCH INITIATED 20:10:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    189 TO ITERATE

100.0% PROCESSED     189 ITERATIONS                                 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         2956 TO     4604
PROJECTED ANSWERS:               1 TO       80

L2             1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 20:10:26 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   3513 TO ITERATE

100.0% PROCESSED    3513 ITERATIONS                                10 ANSWERS
SEARCH TIME: 00.00.01

L3            10 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     155.84     156.05

FILE 'CAPLUS' ENTERED AT 20:10:33 ON 27 JUL 2004
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FILE COVERS 1907 - 27 Jul 2004  VOL 141 ISS 5
FILE LAST UPDATED: 26 Jul 2004  (20040726/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l3
L4             9 L3

=> d l4 1-9 bib abs hitstr
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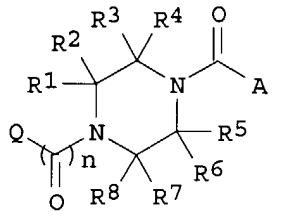
L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:473357 CAPLUS
DN 141:38633
TI Composition and antiviral activity of substituted azaindoleoxoacetic piperazine derivatives
IN Wang, Tao; Zhang, Zhongxing; Meanwell, Nicholas A.; Kadow, John F.; Yin, Zhiwei; Xue, Qiufen May; Regueiro-Ren, Alicia; Matiskella, John D.; Ueda, Yasutsugu
PA USA
SO U.S. Pat. Appl. Publ., 350 pp., Cont.-in-part of U.S. Pat. Appl. 2003 207,910.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004110785	A1	20040610	US 2003-630278	20030730
	US 2003069266	A1	20030410	US 2002-38306	20020102
	US 2003207910	A1	20031106	US 2002-214982	20020807
PRAI	US 2001-266183P	P	20010202		
	US 2001-314406P	P	20010823		
	US 2002-38306	B2	20020102		
	US 2002-214982	B2	20020807		

GI



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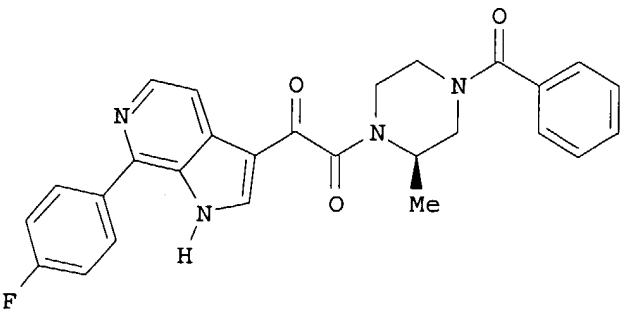


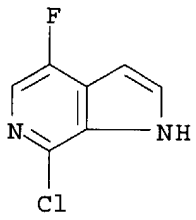
II

AB Title compds. I [n = 1 or 2; Q = (un)substituted azaindole heterocycle; A = alkoxy, (un)substituted aryl or heteroaryl; R1-8 are independently selected from H, alkyl or haloalkyl consisting of up to three halogen substituents with same or different halogens] having drug and bio-affecting properties, their pharmaceutical compns., method of use, and synthetic preparation are disclosed. Thus, e.g., II was prepared via palladium catalyzed coupling of 1-benzoyl-3-(R)-methyl-4-[(7-(4-fluorophenyl)-6-azaindol-3-yl)oxoacetyl]-piperazine (preparation given) with

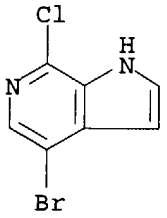
4-fluorophenylboronic acid. The compds. I were tested for inhibition of luciferase expression (data given). These compds. possess unique antiviral activity, whether used alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry inhibitors. More particularly, the present invention relates to the treatment of HIV and AIDS.

IT **357263-69-5P 425380-38-7P 446284-32-8P**
446284-38-4P 446284-44-2P 446284-58-8P
619331-35-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation and antiviral activity of substituted azaindoleoxoacetic piperazine derivs.)

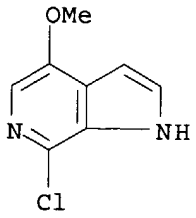
RN 357263-69-5 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-chloro-4-fluoro- (9CI) (CA INDEX NAME)



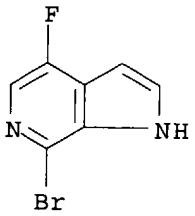
RN 425380-38-7 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 4-bromo-7-chloro- (9CI) (CA INDEX NAME)



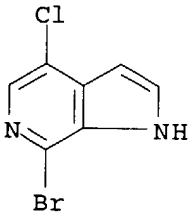
RN 446284-32-8 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-chloro-4-methoxy- (9CI) (CA INDEX NAME)



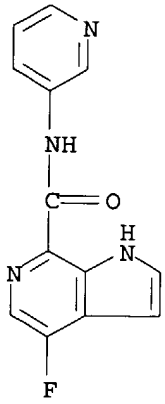
RN 446284-38-4 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-bromo-4-fluoro- (9CI) (CA INDEX NAME)



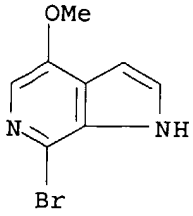
RN 446284-44-2 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-bromo-4-chloro- (9CI) (CA INDEX NAME)



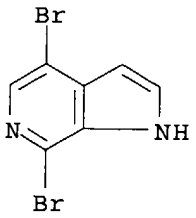
RN 446284-58-8 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-7-carboxamide, 4-fluoro-N-3-pyridinyl- (9CI) (CA INDEX NAME)



RN 619331-35-0 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-bromo-4-methoxy- (9CI) (CA INDEX NAME)



IT **619331-71-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation and antiviral activity of substituted azaindoleoxoacetic piperazine derivs.)
RN 619331-71-4 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 4,7-dibromo- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:269864 CAPLUS
DN 140:303654
TI Preparation and pharmaceutical compositions of indole, azaindole and related heterocyclic 4-alkenyl piperidine amides
IN Wang, Tao; Kadow, John F.; Meanwell, Nicholas A.; Yeung, Kap-Sun; Zhang, Zhongxing; Yin, Zhiwei; Qiu, Zhilei; Deon, Daniel H.; James, Clint A.; Ruediger, Edward H.; Bachand, Carol
PA USA
SO U.S. Pat. Appl. Publ., 181 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004063744	A1	20040401	US 2003-425370	20030429
WO 2004043337	A2	20040527	WO 2003-US13324	20030430

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-383509P P 20020528
OS MARPAT 140:303654
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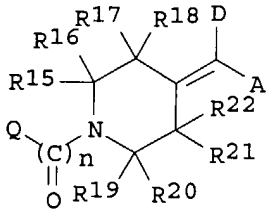

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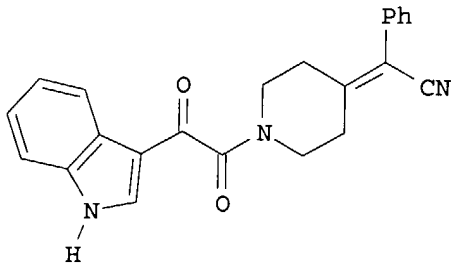


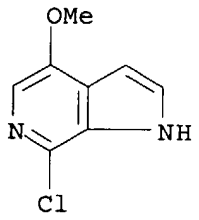
II

AB Title compds. I [Q = (un)substituted-indole, -azaindole, -N-heterocycle; n = 1 or 2; D = H, halo, (un)substituted-alkyl, -alkynyl, -cycloalkyl, etc.; A = (un)substituted-Ph or -heteroaryl; R15-22 independently = H or (un)substituted alkyl] having drug and bio-affecting properties, their pharmaceutical compns., their preparation and method of use are disclosed. Thus, e.g., II was prepared via condensation of 4-(1-phenyl-1-cyanomethylene)piperidine (preparation given) with indole-3-glyoxyl chloride. In particular, the invention is concerned with new piperidine 4-alkenyl derivs. that possess unique antiviral activity. More particularly, the present invention relates to compds. useful for the treatment of HIV and AIDS. In assays of I, EC50 values were determined to range from < 1 μM to > 5 μM.

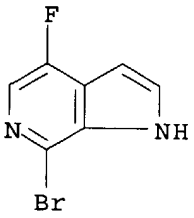
IT **446284-32-8 446284-38-4 619331-35-0**

RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of indole, azaindole, and heterocyclic alkenyl piperidine amides as antiviral agents)

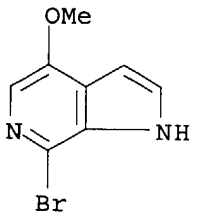
RN 446284-32-8 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-chloro-4-methoxy- (9CI) (CA INDEX NAME)



RN 446284-38-4 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-bromo-4-fluoro- (9CI) (CA INDEX NAME)



RN 619331-35-0 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-bromo-4-methoxy- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:101128 CAPLUS
DN 140:146167
TI Preparation of indolyl-, azaindolyl-, and related heterocyclic ureido and thioureido piperazines for treatment of HIV and AIDS
IN Regueiro-Ren, Alicia; Xue, Qiufen May; Kadow, John F.; Taylor, Malcolm
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004011425	A2	20040205	WO 2003-US22735	20030722
	WO 2004011425	A3	20040624		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	US 2004063746	A1	20040401	US 2003-622687	20030718
PRAI	US 2002-398812P	P	20020725		

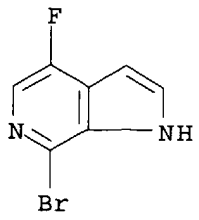
OS MARPAT 140:146167
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [Y = O or S; Z = C or N; A = (substituted)amino; R1 = H, OMe, or halo; R2, R4 = H, halo, cyano, nitro etc.; R3 = H, halo, cyano, nitro, etc, when Z = C; R3 = O or does not exist when Z = N; R5 = H or Me; R6, R7, R8, R9, R10, R11, R12, R13 = H or alkyl] were prepared for treatment of HIV and AIDS. Thus, reaction of 1-(4-fluoro-7-methoxycarbonyl-1H-indol-3-yloxoacetyl)piperazine hydrochloride (preparation given) with dimethylcarbamoyl chloride yielded compound II. The prepared compds. were assayed for inhibition against HIV-1 in HeLa cells and were classified with activity of EC50 < 1 μM, 1 μM < EC50 < 5 μM, or EC50 > 5 μM.

IT **446284-38-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolyl-, azaindolyl-, and related heterocyclic ureido and thioureido piperazines for treatment of HIV and AIDS)

RN 446284-38-4 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-bromo-4-fluoro- (9CI) (CA INDEX NAME)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NH
Br

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:2621 CAPLUS
DN 140:59662
TI Preparation of indolyl-, azaindolyl-, and related heterocyclic sulfonylureidopiperazines for treatment of HIV and AIDS.
IN Kadow, John F.; Regueiro-Ren, Alicia; Xue, Qiufen May
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004000210	A2	20031231	WO 2003-US18708	20030612
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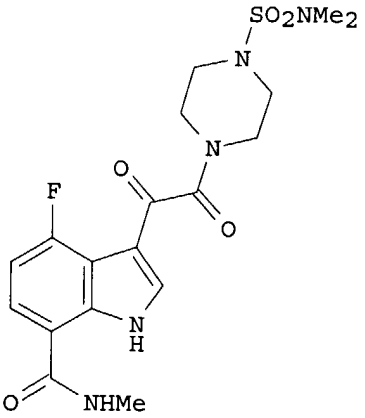
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004006090	A1	20040108	US 2003-457620	20030609
PRAI US 2002-390195P	P	20020620		

OS MARPAT 140:59662
GI



I

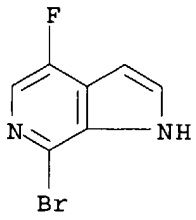
AB Q(CO)mWSO2NR13R14 [m = 1, 2; Q = (substituted) (aza)indolyl; W = (substituted) 1,4-piperazinyl; R13, R14 = H, (substituted) alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, Ph, heteroaryl], were prepared Thus, title compound (I) (multistep preparation given) inhibited HIV-1 in HeLa cells with EC50<1 μM.

IT **446284-38-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indolyl-, azaindolyl-, and related heterocyclic sulfonylureidopiperazines for treatment of HIV and AIDS)

RN 446284-38-4 CAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine, 7-bromo-4-fluoro- (9CI) (CA INDEX NAME)



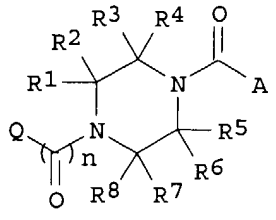
L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:874972 CAPLUS
DN 139:364960
TI Composition and antiviral activity of substituted azaindoleoxoacetic piperazine derivatives
IN Wang, Tao; Zhang, Zhongxing; Meanwell, Nicholas A.; Kadow, John F.; Yin, Zhiwei; Xue, Qiufen May
PA USA
SO U.S. Pat. Appl. Publ., 277 pp., Cont.-in-part of U.S. Ser. No. 38,306.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003207910	A1	20031106	US 2002-214982	20020807
	US 2003069266	A1	20030410	US 2002-38306	20020102
	US 2004110785	A1	20040610	US 2003-630278	20030730
	WO 2004014380	A1	20040219	WO 2003-US24415	20030804

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-266183P P 20010202
US 2001-314406P P 20010823
US 2002-38306 A2 20020102
US 2002-214982 B2 20020807
OS MARPAT 139:364960
GI

Same as ANS.1



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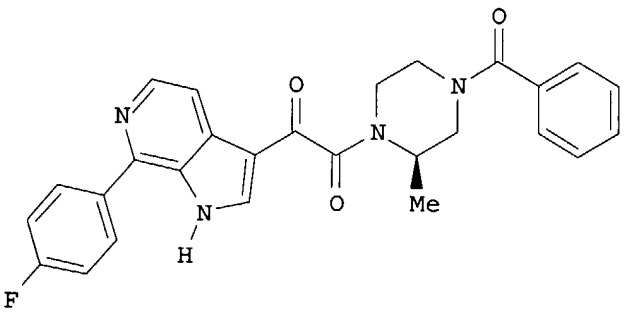


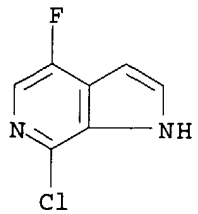
II

AB Title compds. I [n = 1 or 2; Q = (un)substituted azaindole heterocycle; A = alkoxy, (un)substituted aryl or heteroaryl; R1-8 are independently selected from H, alkyl or haloalkyl consisting of up to three halogen substituents with same or different halogens] having drug and bio-affecting properties, their pharmaceutical compns., method of use, and synthetic preparation are disclosed. Thus, e.g., II was prepared via palladium catalyzed coupling of 1-benzoyl-3-(R)-methyl-4-[(7-(4-fluorophenyl)-6-azaindol-3-yl)oxoacetyl]-piperazine (preparation given) with 4-fluorophenylboronic acid. II demonstrated 56% inhibition of luciferase expression at 10 μM. These compds. possess unique antiviral activity, whether used alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry inhibitors. More particularly, the present invention relates to the treatment of HIV and AIDS.

IT **357263-69-5P 425380-38-7P 446284-32-8P**
446284-38-4P 446284-44-2P 446284-58-8P
619331-35-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation and antiviral activity of substituted azaindoleoxoacetic piperazine derivs.)

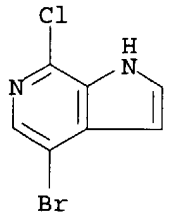
RN 357263-69-5 CAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine, 7-chloro-4-fluoro- (9CI) (CA INDEX NAME)

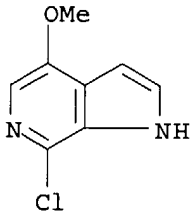


RN 425380-38-7 CAPLUS

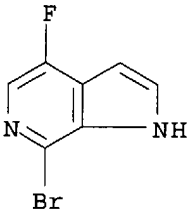
CN 1H-Pyrrolo[2,3-c]pyridine, 4-bromo-7-chloro- (9CI) (CA INDEX NAME)



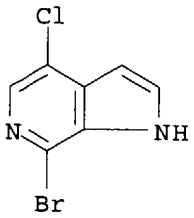
RN 446284-32-8 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-chloro-4-methoxy- (9CI) (CA INDEX NAME)



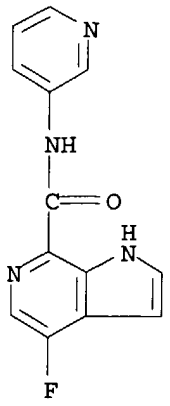
RN 446284-38-4 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-bromo-4-fluoro- (9CI) (CA INDEX NAME)



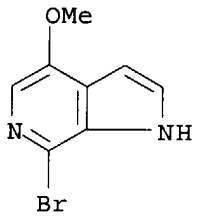
RN 446284-44-2 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-bromo-4-chloro- (9CI) (CA INDEX NAME)



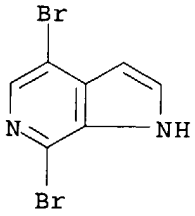
RN 446284-58-8 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-7-carboxamide, 4-fluoro-N-3-pyridinyl- (9CI) (CA INDEX NAME)



RN 619331-35-0 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-bromo-4-methoxy- (9CI) (CA INDEX NAME)



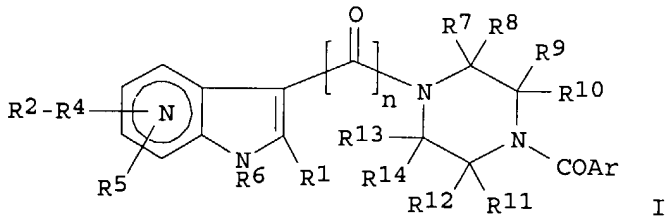
IT **619331-71-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation and antiviral activity of substituted azaindoleoxoacetic piperazine derivs.)
RN 619331-71-4 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 4,7-dibromo- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:658748 CAPLUS
DN 137:201296
TI Preparation of antiviral azaindole derivatives
IN Wang, Tao; Wallace, Owen B.; Zhang, Zhongxing; Meanwell, Nicholas A.; Bender, John A.
PA USA
SO U.S. Pat. Appl. Publ., 60 pp., Cont.-in-part of U.S. Ser. No. 765,189.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002119982	A1	20020829	US 2001-912710	20010725
	US 6476034	B2	20021105		
	US 2002061892	A1	20020523	US 2001-765189	20010118
	US 2003181463	A1	20030925	US 2002-268350	20021010
	US 6632819	B2	20031014		
	US 2004023982	A1	20040205	US 2003-621139	20030716
PRAI	US 2000-184004P	P	20000222		
	US 2001-765189	A2	20010118		
	US 2001-912710	A3	20010725		
	US 2002-268350	A3	20021010		

OS MARPAT 137:201296
GI

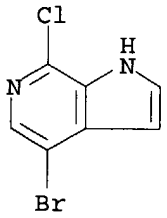


I

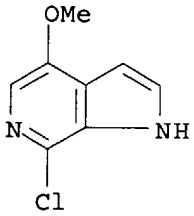
AB The present invention is directed to a series of chemical entities that express HIV-1 inhibitory activities. Thus, azaindoles I [R1-R4 = H, alkyl, cycloalkyl, halo, etc.; R5 = Om and m = 0, 1; n = 1, 2; R6 = H, alkyl, alkenyl, etc.; R7-R14 = H, alkyl, cycloalkyl, etc.; Ar = (un)substituted Ph, 2-pyridyl, 2-furyl, etc.] were prepared E.g., (R)-N-benzoyl-3-methyl-N'-[(7-azaindol-3-yl)oxoacetyl]piperazine was prepared

IT **425380-38-7P 446284-32-8P 452296-79-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of antiviral azaindole derivs.)

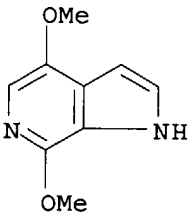
RN 425380-38-7 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 4-bromo-7-chloro- (9CI) (CA INDEX NAME)



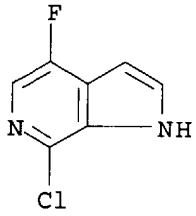
RN 446284-32-8 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-chloro-4-methoxy- (9CI) (CA INDEX NAME)



RN 452296-79-6 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 4,7-dimethoxy- (9CI) (CA INDEX NAME)



IT **357263-69-5P**, 1H-Pyrrolo[2,3-c]pyridine, 7-chloro-4-fluoro-
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antiviral azaindole derivs.)
RN 357263-69-5 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-chloro-4-fluoro- (9CI) (CA INDEX NAME)

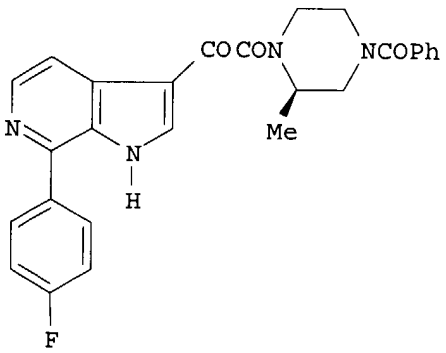


L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:615461 CAPLUS
DN 137:169502
TI Preparation and antiviral activity for HIV-1 of substituted azaindoleoxoacetylpiperazines
IN Wang, Tao; Zhang, Zhongxing; Meanwell, Nicholas A.; Kadow, John F.; Yin, Zhiwei
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 367 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002062423	A1	20020815	WO 2002-US455	20020102
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1363705	A1	20031126	EP 2002-707413	20020102
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	EE 200300359	A	20031215	EE 2003-359	20020102
	BR 2002006636	A	20040225	BR 2002-6636	20020102
	NO 2003003436	A	20031001	NO 2003-3436	20030801
PRAI	US 2001-266183P	P	20010202		
	US 2001-314406P	P	20010823		
	WO 2002-US455	W	20020102		

OS MARPAT 137:169502
GI

Same as ANS. 1



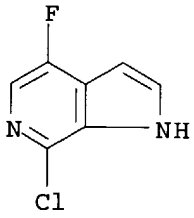
I

AB Title compds. Q(CO)nWCOA [Q = (un)substituted azaindolyl; W = (un)substituted piperazino; A = (un)substituted alkoxy, aryl, heteroaryl; n = 1, 2] were prepared for use as antiviral agents, alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry

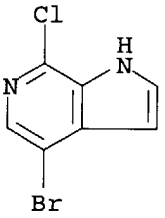
inhibitors, in the treatment of HIV and AIDS. Thus, 2-chloro-3-nitropyridine was cyclized with vinylmagnesium bromide to give 7-chloro-6-azaindole which was treated with ClCOCO2Me, followed by ester hydrolysis, amidation with (R)-3-methyl-1-benzoylpiperazine, and substitution with 4-FC6H4B(OH)2 to give the title compound I which had an EC50 for HIV-1 in vitro of <1 μM.

IT **357263-69-5P 425380-38-7P 446284-32-8P**
446284-38-4P 446284-44-2P 446284-58-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antiviral activity for HIV-1 of substituted azaindoleoxoacetylpiperazines)

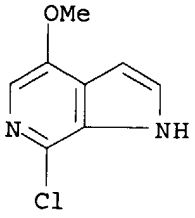
RN 357263-69-5 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-chloro-4-fluoro- (9CI) (CA INDEX NAME)



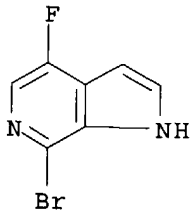
RN 425380-38-7 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 4-bromo-7-chloro- (9CI) (CA INDEX NAME)



RN 446284-32-8 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-chloro-4-methoxy- (9CI) (CA INDEX NAME)



RN 446284-38-4 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-bromo-4-fluoro- (9CI) (CA INDEX NAME)



RN 446284-44-2 CAPLUS
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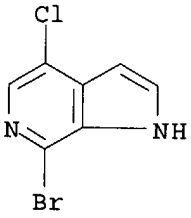
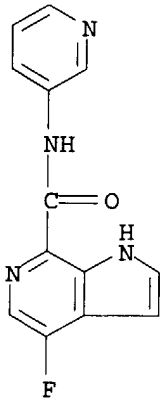
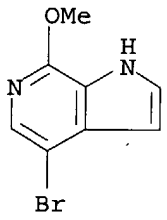


RN 446284-58-8 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-7-carboxamide, 4-fluoro-N-3-pyridinyl- (9CI) (CA INDEX NAME)

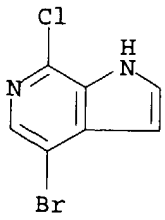


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:173355 CAPLUS
DN 136:369628
TI A General Method for the Preparation of 4- and 6-Azaindoles
AU Zhang, Zhongxing; Yang, Zhong; Meanwell, Nicholas A.; Kadow, John F.; Wang, Tao
CS Department of Chemistry, The Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492, USA
SO Journal of Organic Chemistry (2002), 67(7), 2345-2347
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 136:369628
AB Nitropyridines reacted with an excess of vinyl Grignard reagent to produce 4- or 6-azaindoles. Improved yields were obtained when a halogen atom was present at the position α to the nitrogen atom in the pyridine ring. Thus, reaction of 2-methoxy-3-nitropyridine with excess H2C:CHMgBr in THF at -78° to -20° for 8 h to give 20% 7-methoxy-6-azaindole.
IT **425380-37-6P 425380-38-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of azaindoles via cyclization of vinylmagnesium bromide with nitropyridines)
RN 425380-37-6 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 4-bromo-7-methoxy- (9CI) (CA INDEX NAME)



RN 425380-38-7 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 4-bromo-7-chloro- (9CI) (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:635896 CAPLUS
DN 135:195552
TI Preparation of antiviral azaindole derivatives
IN Wang, Tao; Wallace, Owen B.; Zhang, Zhongxing; Meanwell, Nicholas A.; Bender, John A.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001062255	A1	20010830	WO 2001-US2009	20010119
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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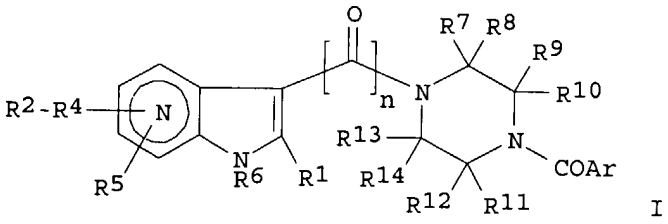
	BR 2001008485	A	20030422	BR 2001-8485	20010119
	JP 2003523392	T2	20030805	JP 2001-561320	20010119
	ZA 2002006073	A	20031030	ZA 2002-6073	20020730
	NO 2002003981	A	20021017	NO 2002-3981	20020821
PRAI	US 2000-184004P	P	20000222		
	WO 2001-US2009	W	20010119		

OS MARPAT 135:195552
GI

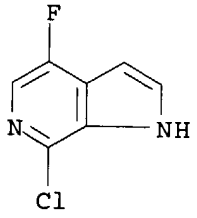


I

AB The present invention is directed to a series of chemical entities that express HIV-1 inhibitory activities. Thus, azaindoles I [R1-R4 - H, alkyl, cycloalkyl, halo, etc.; R5 = Om and m = 0, 1; n = 1, 2; R6 = H, alkyl, alkenyl, etc.; R7-R14 = H, alkyl, cycloalkyl, etc.; Ar = (un)substituted Ph, 2-pyridyl, 2-furyl, etc.] were prepared E.g., (R)-N-benzoyl-3-methyl-N'-[(7-azaindol-3-yl)oxoacetyl]piperazine was prepared

IT **357263-69-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antiviral azaindole derivs.)

RN 357263-69-5 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 7-chloro-4-fluoro- (9CI) (CA INDEX NAME)



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